Patent Claims

A compound of the general formula (I) $A - PO_3 - B$ in which B is a radical of the general formula 5 XI) $\begin{bmatrix} CH_2 - \left(\begin{array}{c} CH \\ OH \end{array} \right) - CH_2 - O \end{bmatrix} - H$ (11) 10 in which [] is an integer from 2 to 8; is 0, 1 or 2; m is an integer from 0 to 8; is an integer from 1 to 4; У is an integer from 0 to 5; 15 is an alkyl radical having 1 to 3 C R_3 atoms, which may be substituted by one Turk the or more hydroxyl groups; and in which A is a radical selected from one of 4=6 the formulae (III) to (XX): 20 (IV) CH2-O-R1 (III) CH-O-R2 (IIV) ·(V) (ĊH₂)_g—H (CH₂)_g—H **(VIII)** (CH₂)_qH $(CH_2)_rH$ (IX) in which is an integer from 0 to 8₺ 25 $p, q, r, s, t \ge 0;$

 $12 \le p + q \le 30$ and

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 $8 \le s + t + r \le 26;$

where R_1 and R_2 are each independently hydrogen, a saturated or unsaturated acyl or alkyl radical or a radical selected from one of the formulae (X), (XI), (XIV) and (XIII), and at least one of R_1 and R_2 is a radical selected from one of the formulae (X), (XI), (XIV) and (XIII):

(X)
$$(CH_2)_p$$
 $(CH_2)_qH$
(XII) $(CH_2)_p$ $(CH_2)_rH$
(XIII) $(CH_2)_p$ $(CH_2)_qH$
(XIII) $(CH_2)_r$ $(CH_2)_rH$

- where $q \neq 8$ for p + q = 14, 16, 18 or 20, if neither of the radicals R_1 and R_2 is a radical of the formula (XI) or (XIII), or if A is a radical of the formula (VIII).
- 15 2. A compound as claimed in claim 1, in which the
 following applies to B:
 m = 1.
- A compound as claimed in claim 2, in which the
 following applies to B:

m = 1;

x = 1 to 3;

z = 0.

25 4. A compound as claimed in claim 3, in which the following applies to B:

m = 1;

x = 1;

z = 0.

5. A compound as claimed in claim 1, in which the following applies to B:

5 m = 1; x = 0; y = 1;z = 1 to 5.

10 6. A compound as claimed in claim 5, in which the following applies to B:

m = 1; x = 0; y = 1;

15 z = 1 to 3.

7. A compound as claimed in claim 1, in which the following applies to B:

m = 1; x = 0; y = 2 to 4; z = 1.

8. A compound as claimed in claim 1, in which the following applies to B:

m = 0; x = 0; y = 1; z = 1 to 5.

9. A compound as claimed in claim 1, in which the following applies to B:

m = 0; x = 0; y = 2 to 4; z = 1.

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10. A compound as claimed in any of the preceding claims, in which the following applies to B: $R_3 = CH_3.$

5 11. A compound as claimed in any of claims 1 to 9, in which the following applies to B: $R_3 = 1,2-dihydroxypropyl.$

12. A compound as claimed in any of the preceding 10 claims, in which the following applies to B:

n = 2 to 6.

13. A compound as claimed in any of the precedingclaims, in which the following applies to B: n = 3.

14. A compound as claimed in any of the preceding claims, in which A is a radical of the formula (VIII) or (IX).

15. A compound as claimed in claim 14, in which A is a radical of the formula (VIII) and has 16 to 23 carbon atoms.

25 16. A compound as claimed in claim 14, in which A is a radical of the formula (IX) and has 19 to 26 carbon atoms.

17. A compound as claimed in claim 16, in which A is a radical of the formula (IX) and has 19 to 26 carbon atoms, and r=0.

18. A compound as claimed in any of claims 1 to 13, in which A is a radical selected from one of the formulae (III) to (VII), and R_1 and R_2 are each independently a radical selected from one of the formulae (X) to (XIII).



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- 19. A compound as claimed in claim 18, in which the following applies to B: x = 1 and z = 0.
- 5 20. A compound as claimed in claim 18 or 19, in which A is a radical of the formula (III) or (IV), and R_1 and R_2 are each independently a radical selected from one of the formulae (X) to (XIII), where one of R_1 and R_2 has 16 to 32 carbon atoms and one of R_1 and R_2 has 16 to 26 carbon atoms.
 - 21. A compound as claimed in claim 18 or 19, in which A is a radical of the formula (III) or (IV), and R_1 and R_2 are both a radical selected from one of the formulae (X) to (XIII) and have 16 to 26 carbon atoms.
 - 22. A compound as claimed in claim 18 or 19, in which A is a radical of the formula (III) or (IV), and R_1 and R_2 are each independently a radical of the formulae (X) to (XIII) and have 16 to 24 carbon atoms.
 - 23. A compound as claimed in any of claims 18 to 22, in which R₁ and R₂ are each independently a radical of the formula (X) or (XI).
 - 24. A compound as claimed in any of claims 18 to 22, in which R_1 and R_2 are each independently a radical of the formula (XII) or (XIII).
 - 25. A compound as claimed in claim 18, 19, 21 or 23, in which R_1 and R_2 are both a radical of the formula (XI).

Claim 18

26. A compound as claimed in claim 18, 19, 21 or 24, in which R_1 and R_2 are both a radical of the formula (XIII).

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- 27. A compound as claimed in claim 18 or 19, in which A is a radical of the formula (III) or (IV), and one of R_1 and R_2 is an alkyl radical having 1 to 4 carbon atoms.
- 28. A compound as claimed in claim 18 or 19, in which A is a radical selected from one of the formulae (III) or (IV), and one of R_1 and R_2 is a hydrogen radical.
- which comprise as Liposomes liposome 29. constituents phospholipids and/or alkylphospholipids, where appropriate cholesterol and 1 to 50 mol% of a compound as claimed in any of claims 26 or salt thereof, 1, 18 to cholesterol, the phospholipids, the alkylphospholipids and the compound together result 100 mol% of the liposome shell constituents.
- 30. Liposomes as claimed in claim 29, which additionally comprise an active ingredient, where appropriate together with pharmaceutically acceptable diluents, excipients, carriers and fillers.
- 31. Liposomes as claimed in claim 30, wherein the active ingredient is a compound as claimed in any of claims 1, 14 to 1 and 27 to 28.
- 32. Liposomes as claimed in any of claims 29 to 31 which additionally comprise a nucleic acid.
- 33. A pharmaceutical composition, which comprises an active ingredient as claimed in any of claims 1.

 14 to 17 and 27 to 29, where appropriate together with pharmaceutically acceptable diluents, excipients, carriers and fillers.

1111 (fi m TĮ, A process for preparing unsaturated (Z)-fatty acids or (Z)-alkenols corresponding to a radical as set forth in any of the formulae (VIII), (IX), and (XI) having 16 to 34 carbon atoms, (X) supplemented by the missing H, which comprises using as starting material a lactone of formula (XIV):

(XIV)

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where a = 10 to 16, and which comprises the steps:

cleavage of the lactone ring with 1) trimethylsilyl halide to give the corresponding trimethylsilyl halo-carboxylate,

simultaneous or subsequent alcoholysis of the trimethylsilyl halo-carboxylate to give the corresponding halo-carboxylic ester,

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3) reaction of the halo-carboxylic ester with triphenylphosphane to give the corresponding phosphonium salt,

4) reaction of the phosphonium salt with an aldehyde using a base and subsequent hydrolysis to give a corresponding (Z)-fatty acid salt,

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5) liberation of the (Z)-fatty acid from the (Z)-fatty acid salt, and

6) where appropriate conversion of the fatty acid into the corresponding (Z)-alkenol using lithium aluminum hydride.

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The process as claimed in claim 34, wherein the (Z)-fatty acid is 15-(Z)-tetracosenoic acid, case cyclopentadecanolide is

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starting lactone, and pelargonaldehyde is used as the aldehyde in step 4.

- 36. The use of a compound of the general formula (I)

 5 as claimed in any of claims 1 to 17, 27 and 28 as
 cytostatic active ingredient.
- 37. The use of a compound of the general formula (I) as claimed in any of claims 1 to 17, 27 and 28 as active ingredient against protozoal infections such as, for example leishmaniosis and trypanosomiasis.
- 38. The use of a compound of the general formula (I)

 15 as claimed in any of claims 1 to 13 and 18 to 26

 as liposome shell constituent.
 - 39. The use of a compound of the general formula (I) as claimed in any of claims 1 to 13 and 22 to 26 as solubilizer for active ingredients insoluble in water.
 - 40. The use of liposomes as claimed in claim 32 as gene transport vehicles.
 - 41. The use of liposomes as claimed in claim 30 as antitumor compositions, where the active ingredient is doxorubicin.
- 30 42. The use of liposomes as claimed in claim 30 as compositions for influencing the proliferation of cells, where the active ingredient is a cytokine.

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